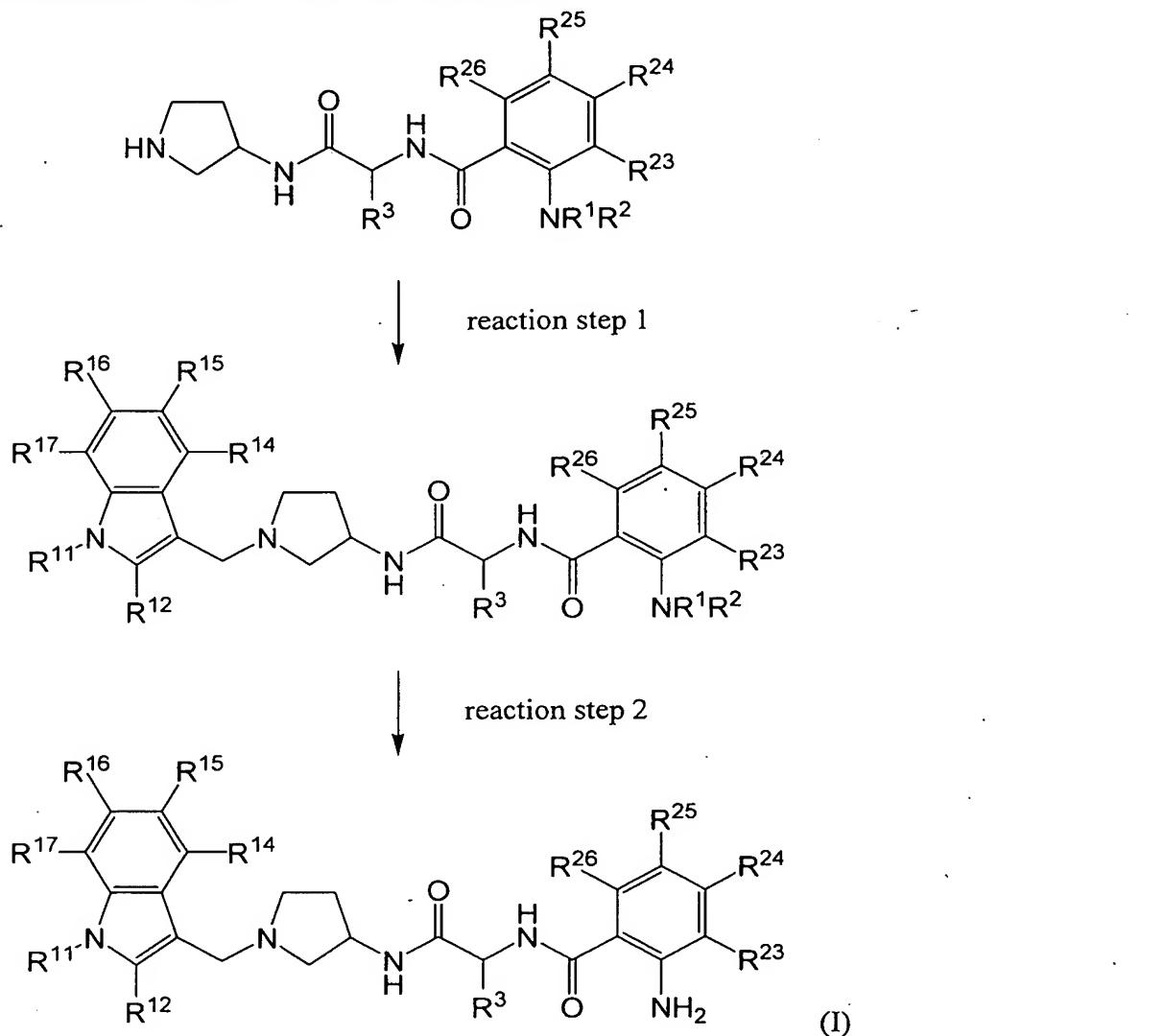


CLAIMS

1. A producing method for aminopyrrolidine derivatives or salts thereof comprising reaction steps 1 and 2 represented by the following reaction formula (I) with the proviso that reaction step 2 is unnecessary if both R¹ and R² are hydrogen:



wherein R¹ and R² represent independently hydrogen or a protecting group for amino group (wherein R¹ and R² may, taken together, form a cyclic structure);

R³ represents hydrogen or C₁–C₆ alkyl;

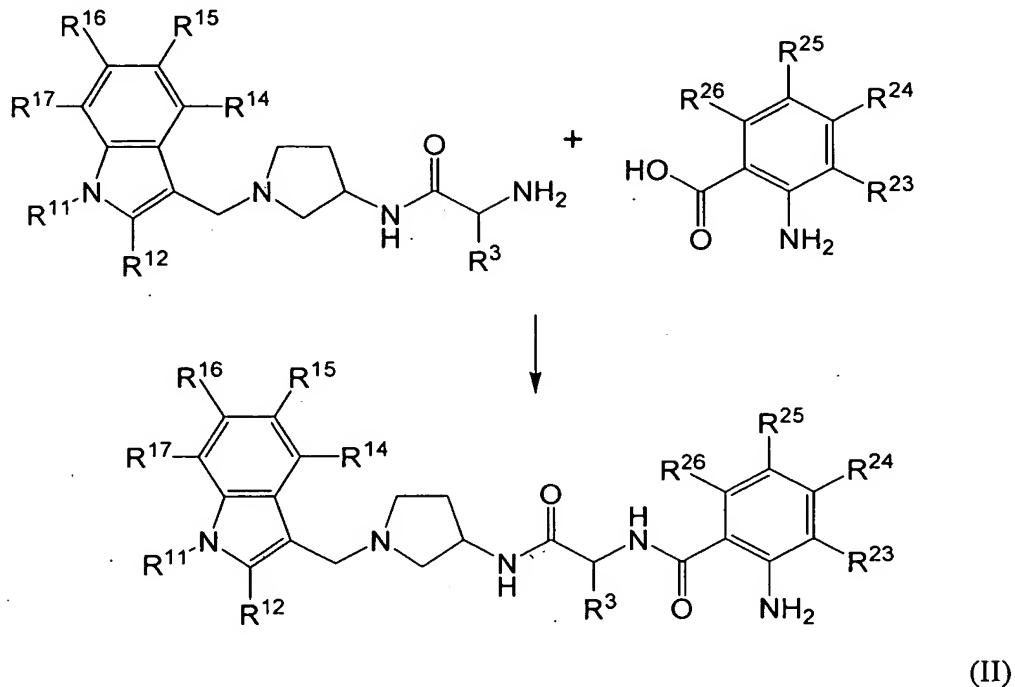
R¹¹ represents hydrogen, C₁–C₆ alkyl or C₂–C₇ alkanoyl;

R¹², R¹⁴, R¹⁵, R¹⁶ and R¹⁷ represent independently hydrogen, halogen, optionally halogenated C₁–C₆ alkyl, optionally halogenated C₁–C₆ alkoxy, hydroxyl or C₂–C₇ alkoxycarbonyl; and R²³, R²⁴, R²⁵ and R²⁶ represent independently hydrogen, halogen, optionally halogenated C₁–C₆ alkyl,

optionally halogenated C₁–C₆ alkoxy or hydroxyl.

2. The production method according to claim 1, wherein the protecting group for amino group as R¹ or R² is methoxycarbonyl, *t*-butoxycarbonyl, benzyloxycarbonyl, allyloxycarbonyl, formyl, acetyl, benzoyl, methyl, ethyl, allyl, benzenesulfonyl or phthaloyl, wherein, when said protecting group for amino group contains an aromatic ring, the aromatic ring may be optionally substituted with one or more of nitro, amino, C₁–C₆ alkyl, C₁–C₆ alkoxy or halogen.
3. The production method according to claim 1, wherein either of R¹ and R² is hydrogen and the other is *t*-butoxycarbonyl.
4. The production method according to any one of claims 1 to 3, wherein reaction step 1 is reaction of an indole derivative having no substituent at the 3-position in the presence of a synthon of formaldehyde.
5. The production method according to claim 4, wherein the synthon of formaldehyde is one or more of a compound selected from formalin, paraformaldehyde and trioxane.
6. The production method according to any one of claims 1 to 3, wherein reaction step 1 is reaction of an indole derivative having a dialkylaminomethyl group at the 3-position.
7. The production method according to any one of claims 1 to 6, wherein reaction step 2 is removal of the protection group for the amino group by acid hydrolysis.
8. The production method according to any one of claims 1 to 6, wherein reaction step 2 involves treatment with hydrogen chloride in organic solvent.
9. A method for producing aminopyrrolidine derivatives or salts thereof comprising a condensation step represented by the following reaction formula (II), wherein the condensation

step is performed by treatment with an anthranilic acid derivative in an aprotic solvent in the presence of a condensing agent:



wherein R^3 represents hydrogen or C_1 – C_6 alkyl;

R^{11} represents hydrogen, C_1 – C_6 alkyl or C_2 – C_7 alkanoyl;

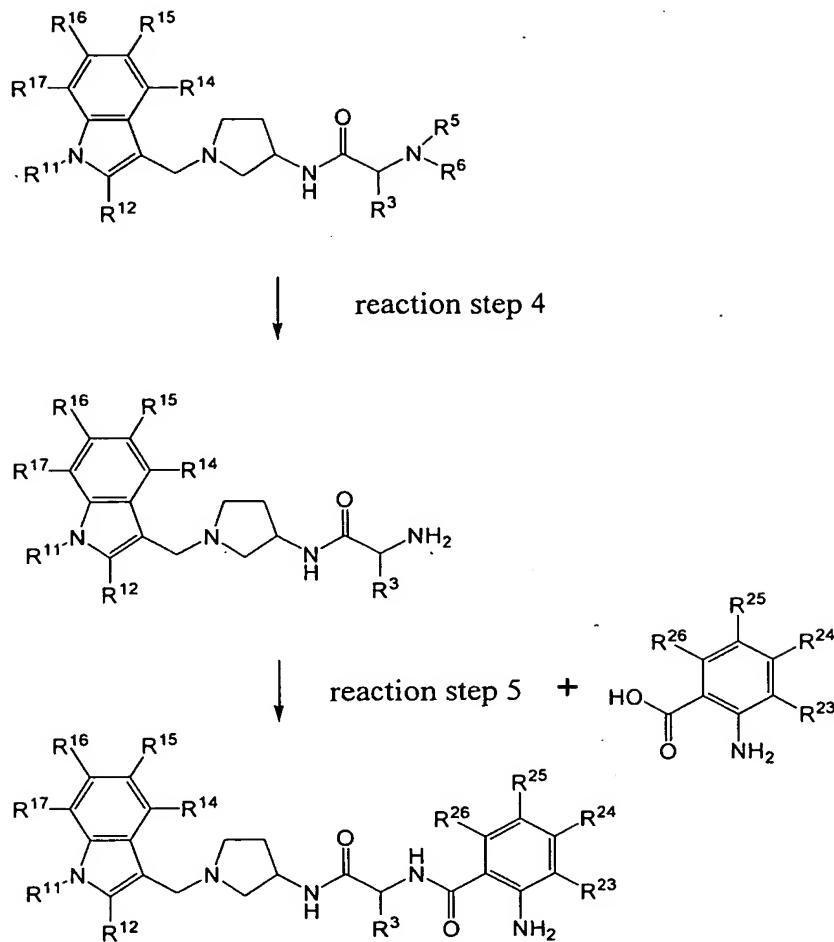
R^{12} , R^{14} , R^{15} , R^{16} and R^{17} represent independently hydrogen, halogen, optionally halogenated C_1 – C_6 alkyl, optionally halogenated C_1 – C_6 alkoxy, hydroxyl or C_2 – C_7 alkoxy carbonyl; and R^{23} , R^{24} , R^{25} and R^{26} represent independently hydrogen, halogen, optionally halogenated C_1 – C_6 alkyl, optionally halogenated C_1 – C_6 alkoxy or hydroxyl.

10. The production method according to claim 9, wherein the condensing agent is one or more of a compound selected from 1,3-dicyclohexylcarbodiimide, isobutyl chloroformate, pivaloyl chloride, isovaleryl chloride, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, 1-cyclohexyl-3-morpholinoethylcarbodiimide, 1-cyclohexyl-3-(4-diethylaminocyclohexyl)carboximide, N,N -carbonyldiimidazole and 2-chloro-1,3-dimethylimidazolinium chloride.

11. The production method according to claim 9, wherein the condensing agent is

1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride.

12. The production method according to any one of claims 9 to 11, wherein, in said condensation step, are additionally used one or more of an additive selected from *p*-nitrophenol, hydroxysuccinimide, hydroxyphthalimide, 1-hydroxy-1,2,3-benzotriazole, 3-hydroxy-4-oxo-3,4-dihydro-1,2,3-benzotriazine, *N*-hydroxy-5-norbornene-2,3-dicarboximide and ethyl 2-hydroxyimino-2-cyanoacetate.
13. The production method according to any one of claims 9 to 11, wherein, in said condensation step, 1-hydroxy-1,2,3-benzotriazole is additionally used as an additive.
14. The production method according to any one of claims 9 to 13, wherein, in said condensation step, triethylamine is additionally used.
15. The production method according to any one of claims 9 to 14, which further comprises a deprotection step represented by the following reaction step 4:

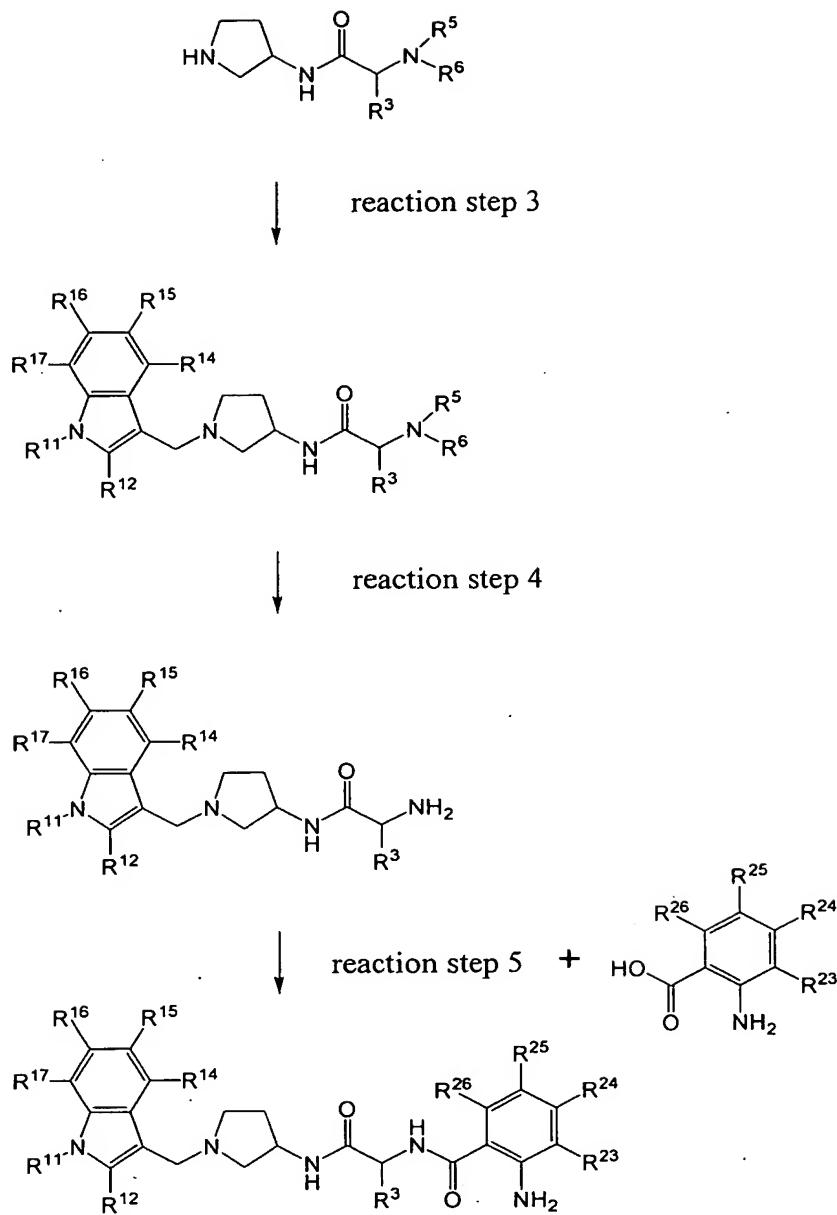


wherein R^3 , R^{11} , R^{12} , R^{14} , R^{15} , R^{16} , R^{17} , R^{23} , R^{24} , R^{25} and R^{26} are as defined in reaction formula (II);

R^5 and R^6 represent independently hydrogen or a protecting group for amino group (wherein R^5 and R^6 may, taken together, form a cyclic structure) except for the case where R^5 and R^6 are simultaneously hydrogen.

16. The production method according to claim 15, wherein said reaction step 4 involves treatment with hydrogen chloride in organic solvent.

17. The production method according to either claim 15 or 16, which further comprises an introduction step of an indole derivative represented by the following reaction step 3:



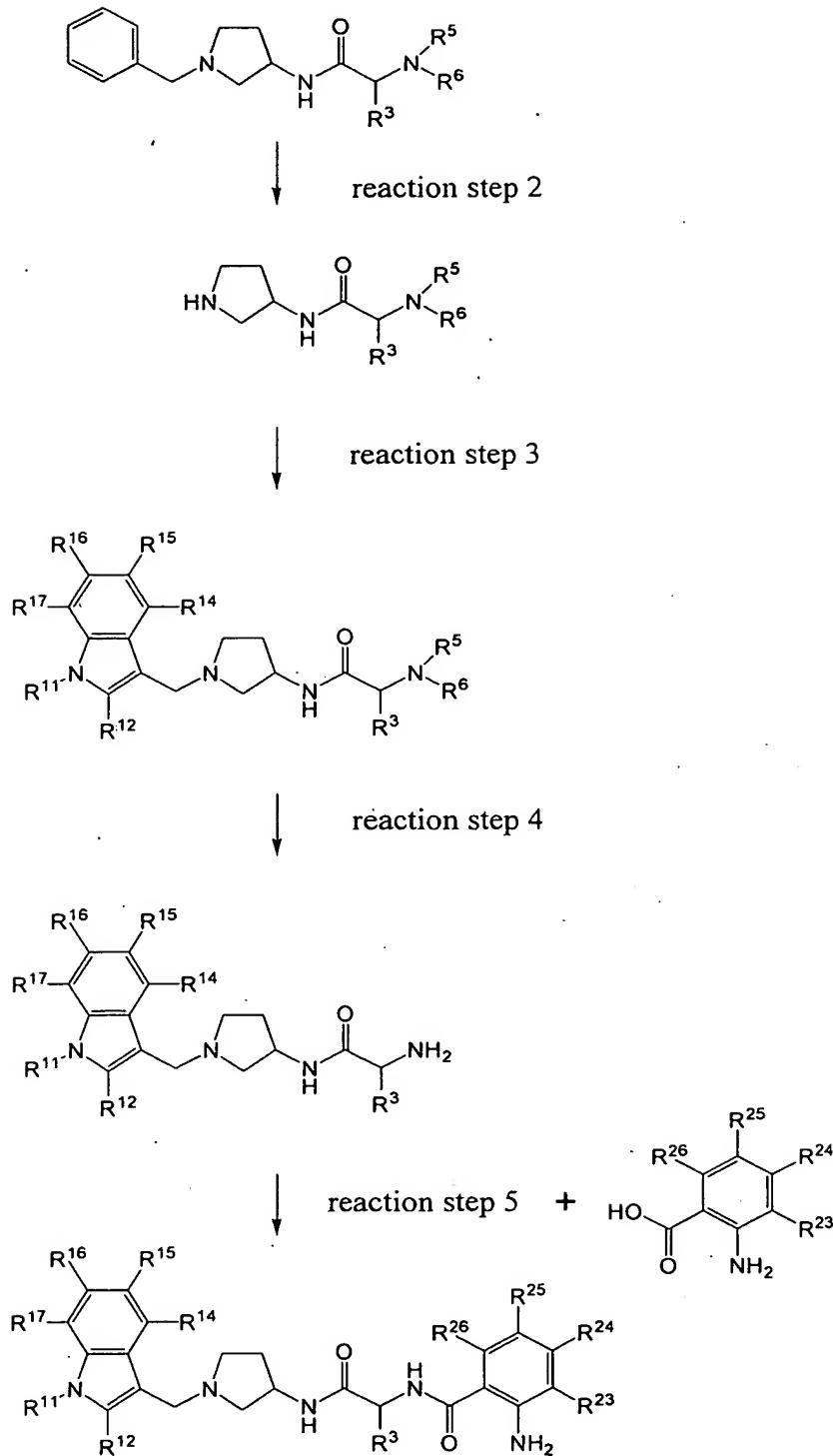
wherein R^3 , R^5 , R^6 , R^{11} , R^{12} , R^{14} , R^{15} , R^{16} , R^{17} , R^{23} , R^{24} , R^{25} and R^{26} are as defined above.

18. The production method according to claim 17, wherein said reaction step 3 is reaction of an indole derivative having no substituent at the 3-position in the presence of a synthon of formaldehyde.

19. The production method according to claim 18, wherein the synthon of formaldehyde is formalin.

20. The production method according to claim 17, wherein said reaction step 3 is reaction of an indole derivative substituted with a dialkylaminomethyl group at the 3-position.

21. The production method according to any one of claims 17 to 20, which further comprises a removal step of a benzyl group represented by the following reaction step 2:

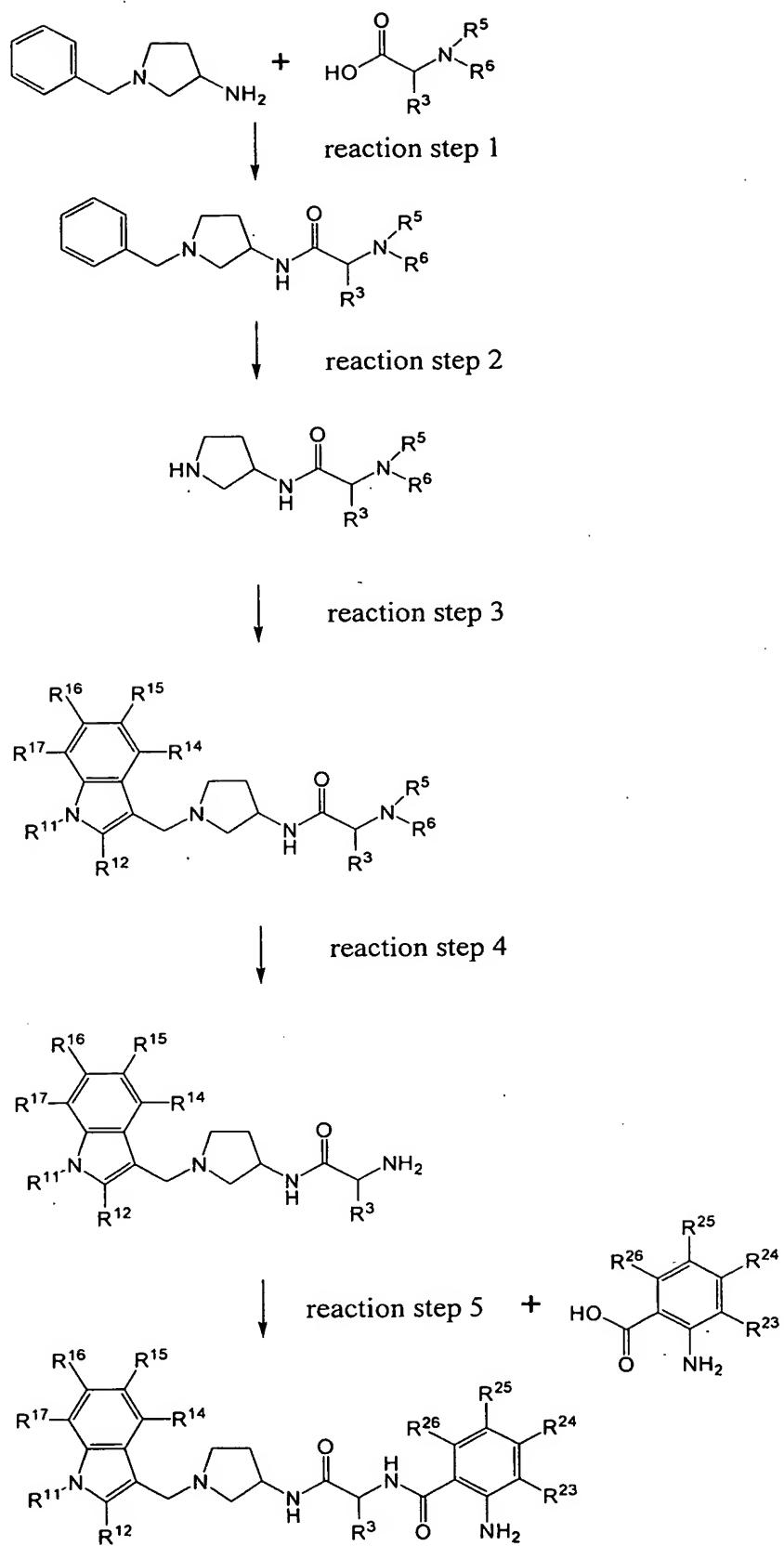


wherein R^3 , R^5 , R^6 , R^{11} , R^{12} , R^{14} , R^{15} , R^{16} , R^{17} , R^{23} , R^{24} , R^{25} and R^{26} are as defined above.

22. The production method according to claim 21, wherein, in said reaction step 2, a hydrogen source is used in the presence of palladium catalyst.

23. The production method according to claim 22, wherein the hydrogen source is gaseous hydrogen.

24. The production method according to any one of claims 21 to 23, which further comprises a condensation step with an amino acid derivative represented by the following reaction step 1:



wherein R^3 , R^5 , R^6 , R^{11} , R^{12} , R^{14} , R^{15} , R^{16} , R^{17} , R^{23} , R^{24} , R^{25} and R^{26} are as defined above.

25. The production method according to claim 24, wherein, in said reaction step 1, are used one or more of a condensing agent selected from 1,3-dicyclohexylcarbodiimide, isobutyl chloroformate, pivaloyl chloride, isovaleryl chloride, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, 1-cyclohexyl-3-morpholinoethylcarbodiimide, 1-cyclohexyl-3-(4-diethylaminocyclohexyl)carboximide, *N,N*-carbonyldiimidazole and 2-chloro-1,3-dimethylimidazolinium chloride.

26. The production method according to claim 24, wherein, in said reaction step 1, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide is used as a condensing agent.

27. The production method according to any one of claims 24 to 26, wherein, in said reaction step 1, are additionally used one or more of an additive selected from *p*-nitrophenol, hydroxysuccinimide, hydroxyphthalimide, 1-hydroxy-1,2,3-benzotriazole, 3-hydroxy-4-oxo-3,4-dihydro-1,2,3-benzotriazine, *N*-hydroxy-5-norbornene-2,3-dicarboximide and ethyl 2-hydroxyimino-2-cyanoacetate.

28. The production method according to any one of claims 24 to 26, wherein, in said reaction step 1, 1-hydroxy-1,2,3-benzotriazole is additionally used as an additive.

29. The production method according to any one of claims 24 to 28, wherein, in said reaction step 1, triethylamine is additionally used.

30. The production method according to any one of claims 15 to 29, wherein the protecting group for amino group as R⁵ and R⁶ is methoxycarbonyl, *t*-butoxycarbonyl, benzyloxycarbonyl, allyloxycarbonyl, formyl, acetyl, benzoyl, methyl, ethyl, allyl, benzenesulfonyl or phthaloyl, wherein, when said protecting group for the amino group contains an aromatic ring, the aromatic ring may be optionally substituted with one or more of nitro, amino, C₁—C₆ alkyl, C₁—C₆ alkoxy or halogen.

31. The production method according to any one of claims 15 to 29, wherein either of R⁵ and R⁶ is hydrogen and the other is *t*-butoxycarbonyl.

32. The production method according to any one of claims 1 to 31, wherein R³ is hydrogen.

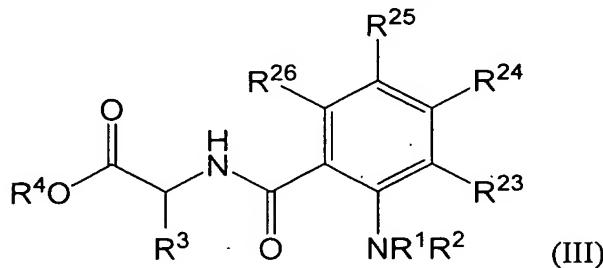
33. The production method according to any one of claims 1 to 32, wherein R¹¹, R¹², R¹⁴, R¹⁵ and R¹⁷ are all hydrogen.

34. The production method according to any one of claims 1 to 33, wherein R¹⁶ is methyl.

35. The production method according to any one of claims 1 to 34, wherein R²³, R²⁴ and R²⁶ are all hydrogen.

36. The production method according to any one of claims 1 to 35, wherein R²⁵ is trifluoromethoxy.

37. A compound or a salt thereof represented by the following formula (III):



wherein R¹ and R² represent independently hydrogen or a protecting group for amino group (wherein R¹ and R² may, taken together, form a cyclic structure);

R³ represents hydrogen or C₁–C₆ alkyl;

R⁴ represents hydrogen or C₁–C₆ alkyl; and

R²³, R²⁴, R²⁵ and R²⁶ represent independently hydrogen, halogen, optionally halogenated C₁–C₆ alkyl, optionally halogenated C₁–C₆ alkoxy or hydroxyl.

38. The compound or a salt thereof according to claim 37, wherein said protecting group of amino group as R¹ and R² is methoxycarbonyl, *t*-butoxycarbonyl, benzyloxycarbonyl, allyloxycarbonyl, formyl, acetyl, benzoyl, methyl, ethyl, allyl, benzenesulfonyl or phthaloyl, wherein, when said protecting group for the amino group contains an aromatic ring, the aromatic ring may be substituted with one or more of nitro, amino, C₁–C₆ alkyl, C₁–C₆ alkoxy or halogen.

39. The compound or a salt thereof according to claim 37, wherein either of R¹ and R² is hydrogen and the other is hydrogen, *t*-butoxycarbonyl or benzyloxycarbonyl.

40. The compound or a salt thereof according to any one of claims 37 to 39, wherein R³ is hydrogen.

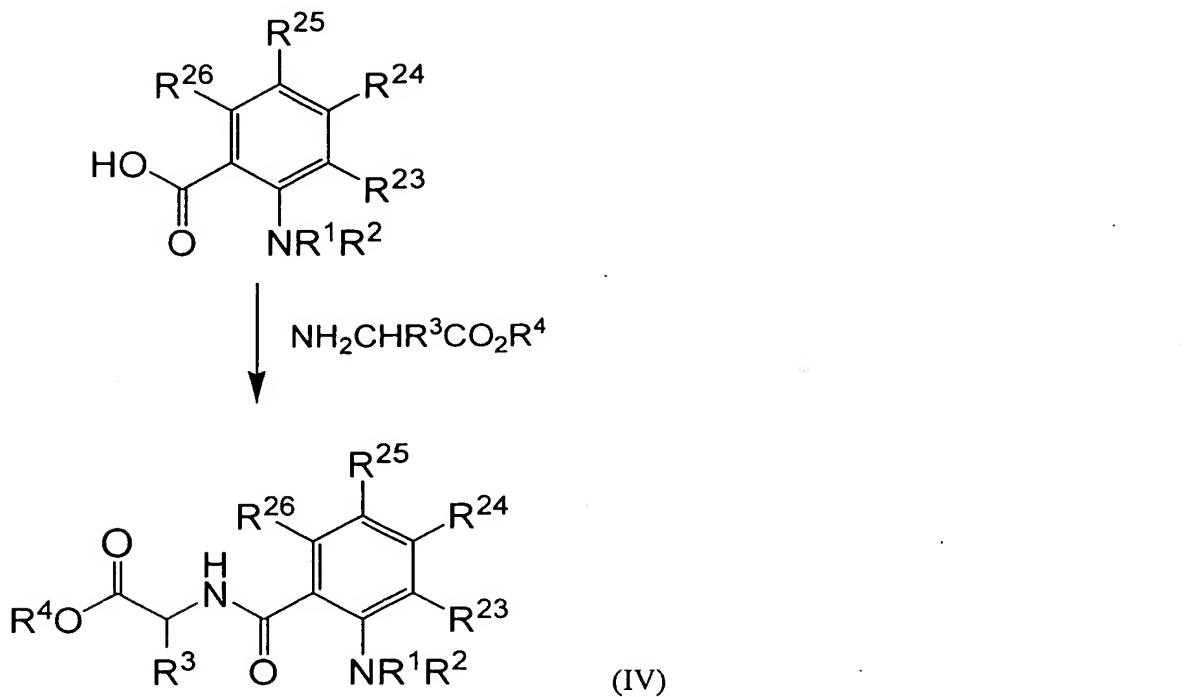
41. The compound or a salt thereof according to any one of claims 37 to 40, wherein R⁴ is hydrogen.

42. The compound or a salt thereof according to any one of claims 37 to 41, wherein R²³, R²⁴ and R²⁶ are all hydrogen.

43. The compound or a salt thereof according to any one of claims 37 to 42, wherein R²⁵ is C₁–C₆ alkoxy substituted with halogen.

44. The compound or a salt thereof according to any one of claims 37 to 42, wherein R²⁵ is trifluoromethoxy.

45. A production method of an anthranilamide derivative or a salt thereof comprising a reaction step represented by the following formula (IV):



wherein:

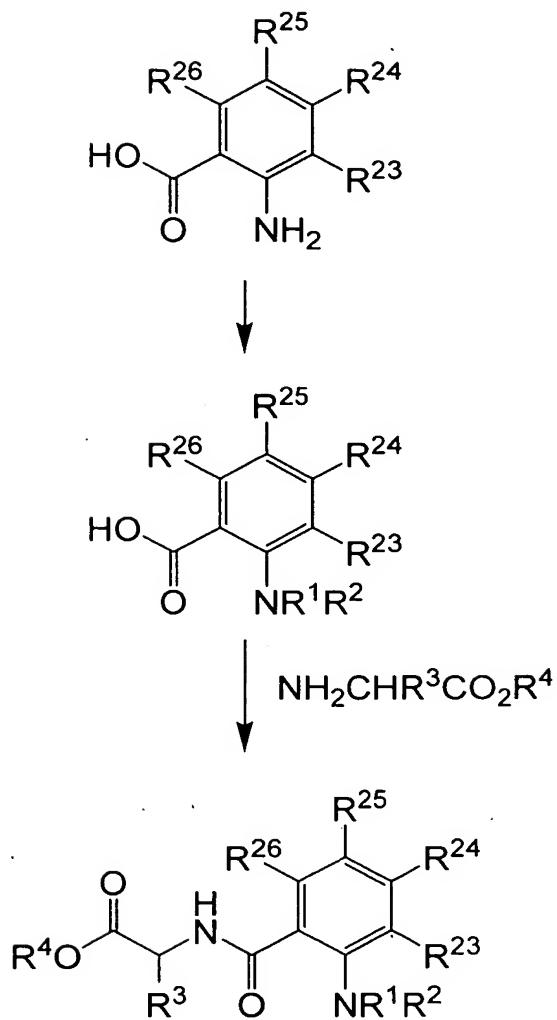
R¹ and R² represent independently hydrogen or a protecting group for amino group (wherein R¹ and R² may, taken together, form a cyclic structure);

R³ represents hydrogen or C₁–C₆ alkyl;

R⁴ represents hydrogen or C₁–C₆ alkyl;

R²³, R²⁴, R²⁵ and R²⁶ represent independently hydrogen, halogen, optionally halogenated C₁–C₆ alkyl, optionally halogenated C₁–C₆ alkoxy or hydroxyl.

46. The production method according to claim 45 which further comprises a reaction step represented by the first step in the following reaction formula:



wherein R^1 , R^2 , R^3 , R^4 , R^{23} , R^{24} , R^{25} and R^{26} are as defined above.

47. The production method according to either claim 45 or 46, wherein the protecting group for amino group as R^1 or R^2 is methoxycarbonyl, *t*-butoxycarbonyl, benzyloxycarbonyl, allyloxycarbonyl, formyl, acetyl, benzoyl, methyl, ethyl, allyl, benzenesulfonyl or phthaloyl, wherein, when said protecting group for the amino group contains an aromatic ring, the aromatic ring may be substituted with one or more of nitro, amino, C_1 – C_6 alkyl, C_1 – C_6 alkoxy or halogen.

48. The production method according to either claim 45 or 46, wherein either of R^1 and R^2 is hydrogen and the other is hydrogen, *t*-butoxycarbonyl or benzyloxycarbonyl.

49. The production method according to any one of claims 45 to 48, wherein R³ is hydrogen.

50. The production method according to any one of claims 45 to 49, wherein R²³, R²⁴ and R²⁶ are all hydrogen.

51. The production method according to any one of claims 45 to 50, wherein R²⁵ is C₁—C₆ alkoxy substituted with halogen.

52. The production method according to any one of claims 45 to 50, wherein R²⁵ is trifluoromethoxy.